Small Molecules for Melanoma Treatment

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OTHER INFORMATION

KEYWORDS

melanoma

CATEGORIZED AS

» **Biotechnology**
  » Health
» **Medical**
  » Disease: Cancer
  » Disease: Dermatology
  » New Chemical Entities, Drug Leads
  » Therapeutics

BRIEF DESCRIPTION

Traditional anti-cancer treatments used for metastatic melanoma (skin cancer) can result in cell toxicity, poor efficacy, and low patient survival. UCI researchers have uncovered a class of potent compounds that inhibit cancer cell growth and induce cancer cell death by targeting RhoJ signaling pathways.

FULL DESCRIPTION

Melanoma develops from cancer cells in the skin. In nearly all pre-metastatic cases surgical removal of the cancer cells is curative; however, after metastasis traditional cancer treatments can result in cell toxicity, poor efficacy, and low patient survival. Previous studies have implicated the RhoJ signaling pathway in modulating melanoma cell migration, accelerates tumor growth, and inhibits cell death activity. Hence, targeting the RhoJ pathway represents a promising approach to developing anti-cancer drugs.

UCI researchers have discovered a class of small molecules to block RhoJ signaling for treating stage III melanomas or stage IV melanomas resistant to other treatments. They identified potent inhibitors of human RhoJ and other related proteins downstream in the pathway that activate cell death in melanoma cells, while blocking cancer cell proliferation. These therapeutics may be employed as a single or combinatory treatment with other anticancer drugs (e.g., cisplatin). The molecules are permeable to cell membranes in complex biological environments and their scaffold have inherent drug-likeness, which would enable great chemical diversity in anti-cancer drug development. The new compounds provide a promising approach for treating melanoma and other cancers by essentially releasing the downstream block on cell death in cancer cells.

SUGGESTED USES

• Treatment of melanoma and disorders associated with increased levels of RhoJ (e.g. hyperplasia, metaplasia or dysplasia, cancer metastasis, hyperproliferative disorders

• Treatment of retinal disorders and cardiomyopathies

• Can be used ether as a stand-alone therapeutic or combinatory chemotherapeutic (e.g. with cisplatin or radiation therapy)

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	11,952,366	04/09/2024	2017-427
United States Of America	Issued Patent	11,198,682	12/14/2021	2017-427
United States Of America	Published Application	2020-006273	02/27/2020	2017-427
Patent Cooperation Treaty	Published Application	WO 2018/203256	11/08/2018	2017-427

Additional Patent Pending

STATE OF DEVELOPMENT

Inhibitory compounds have been identified and validated efficacy *in vitro*, testing potency with and without second anticancer drug, cisplatin, in melanoma cells.

Ongoing PK studies, bioavailability, and binding affinity of these compounds for *in vivo* tests

RELATED CASES

2017-427-0

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